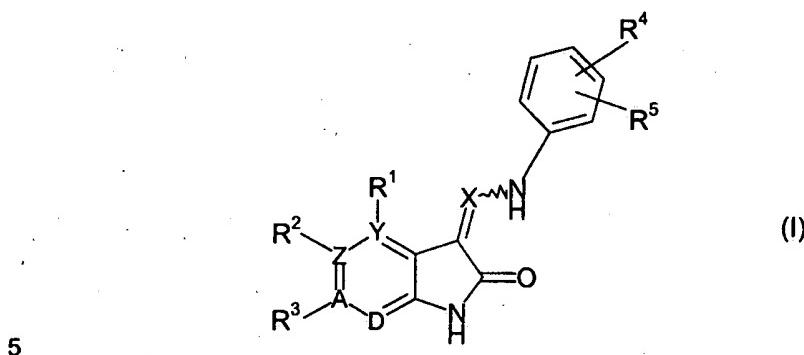


Claims

1. A compound of the formula:



wherein wherein X is selected from the group consisting of: N, CH, CCF₃, and C(C₁₋₁₂ aliphatic);

Y is C or N, with the proviso that when Y is N, R¹ is absent, and Z, A and D are each C;

Z is C or N, with the proviso that when Z is N, R² is absent, and Y, A and D are each C;

A is C or N, with the proviso that when A is N, R³ is absent, and Y, Z and D are each C;

15 D is C or N, with the proviso that when D is N, then Y, Z and A are each C; with the further proviso that Y, Z, A and D do not simultaneously all represent C;

R¹ is selected from the group consisting of: hydrogen, C₁₋₁₂ aliphatic, thiol, hydroxy, hydroxy-C₁₋₁₂ aliphatic, Aryl, Aryl-C₁₋₁₂ aliphatic, R⁶-Aryl-C₁₋₁₂

20 aliphatic, Cyc, Cyc-C₁₋₆ aliphatic, Het, Het-C₁₋₁₂ aliphatic, C₁₋₁₂ alkoxy, Aryloxy, amino, C₁₋₁₂ aliphatic amino, di-C₁₋₁₂ aliphatic amino, di-C₁₋₁₂ aliphatic aminocarbonyl, di-C₁₋₁₂ aliphatic aminosulfonyl, C₁₋₁₂ alkoxycarbonyl, halogen, cyano, sulfonamide and nitro, where R⁶, Aryl, Cyc and Het are as defined below;

R² is selected from the group consisting of: hydrogen, C₁₋₁₂ aliphatic, N-hydroxyimino-C₁₋₁₂ aliphatic, C₁₋₁₂ alkoxy, hydroxy-C₁₋₁₂ aliphatic, C₁₋₁₂ alkoxycarbonyl, carboxyl C₁₋₁₂ aliphatic, Aryl, R⁶-Aryl-oxycarbonyl, R⁶-oxycarbonyl-Aryl, Het, aminocarbonyl, C₁₋₁₂ aliphatic-aminocarbonyl, Aryl-5 C₁₋₁₂ aliphatic-aminocarbonyl, R⁶-Aryl-C₁₋₁₂ aliphatic-aminocarbonyl, Het-C₁₋₁₂ aliphatic-aminocarbonyl, hydroxy-C₁₋₁₂ aliphatic-aminocarbonyl, C₁₋₁₂-alkoxy-C₁₋₁₂ aliphatic-aminocarbonyl, C₁₋₁₂ alkoxy-C₁₋₁₂ aliphatic-amino, di-C₁₋₁₂ aliphatic amino, di-C₁₋₁₂ aliphatic aminocarbonyl, di-C₁₋₁₂ aliphatic aminosulfonyl, halogen, hydroxy, nitro, C₁₋₁₂ aliphatic-sulfonyl, aminosulfonyl 10 and C₁₋₁₂ aliphatic-aminosulfonyl, where R⁶ Aryl and Het are as defined below;

R¹ and R² are optionally joined to form a fused ring selected from the group as defined for Het below, and said fused ring is optionally substituted by one or more substituents selected from the group consisting of: C₁₋₁₂ aliphatic, 15 halogen, nitro, cyano, C₁₋₁₂ alkoxy, carbonyl-C₁₋₁₂ alkoxy and oxo;

R³ is selected from the group consisting of: hydrogen, C₁₋₁₂ aliphatic, hydroxy, hydroxy C₁₋₁₂ aliphatic, di-C₁₋₁₂ aliphatic amino, di-C₁₋₁₂ aliphatic aminocarbonyl, di-C₁₋₁₂ aliphatic aminosulfonyl, C₁₋₁₂ alkoxy, Aryl, Aryloxy, hydroxy-Aryl, Het, hydroxy-Het, Het-oxy and halogen, where Aryl and Het 20 are as defined below;

R² and R³ are optionally joined to form a fused ring selected from the group as defined for Het below, and said fused ring is optionally substituted by C₁₋₆ aliphatic and/or C₁₋₆ aliphatic-carbonyl;

R⁴ is selected from the group consisting of: sulfonic acid, C₁₋₁₂ aliphatic-25 sulfonyl, sulfonyl-C₁₋₁₂ aliphatic, C₁₋₁₂ aliphatic-sulfonyl-C₁₋₆ aliphatic, C₁₋₆ aliphatic-amino, R⁷-sulfonyl, R⁷-sulfonyl-C₁₋₁₂ aliphatic, R⁷-aminosulfonyl, R⁷-aminosulfonyl-C₁₋₁₂ aliphatic, R⁷-sulfonylamino, R⁷-sulfonylamino-C₁₋₁₂ aliphatic, aminosulfonylamino, di-C₁₋₁₂ aliphatic amino, di-C₁₋₁₂ aliphatic aminocarbonyl, di-C₁₋₁₂ aliphatic aminosulfonyl, di-C₁₋₁₂ aliphatic amino, di-

C_{1-12} aliphatic aminocarbonyl, di- C_{1-12} aliphatic aminosulfonyl- C_{1-12} aliphatic, $(R^8)_{1-3}$ -Arylamino, $(R^8)_{1-3}$ -Arlysulfonyl, $(R^8)_{1-3}$ -Aryl-aminosulfonyl, $(R^8)_{1-3}$ -Arylsulfonylamino, Het-amino, Het-sulfonyl, Het-aminosulfonyl, aminoiminoamino and aminoiminoaminosulfonyl, where R^7 , R^8 , Aryl and Het are as defined below;

R^5 is hydrogen or R^4 and R^5 are optionally joined to form a fused ring selected from the group as defined for Het below, and said fused ring is optionally substituted by one or more substituents selected from the group consisting of: C_{1-12} aliphatic, oxo and dioxo;

10 R^6 is selected from the group consisting of: C_{1-12} aliphatic, hydroxy, C_{1-12} alkoxy and halogen;

R^7 is selected from the group consisting of: hydrogen, C_{1-12} aliphatic, C_{1-12} alkoxy, hydroxy- C_{1-12} alkoxy, hydroxy- C_{1-12} aliphatic, carboxylic acid, C_{1-12} aliphatic-carbonyl, Het, Het- C_{1-12} -aliphatic, Het- C_{1-12} -alkoxy, di-Het- C_{1-12} -

15 alkoxy Aryl, Aryl- C_{1-12} -aliphatic, Aryl- C_{1-12} -alkoxy, Aryl-carbonyl, C_{1-18} alkoxyalkoxyalkoxyalkoxyaliphatic and hydroxyl, where Het and Aryl are as defined below;

R^8 is selected from the group consisting of: hydrogen, nitro, cyano, C_{1-12} alkoxy, halo, carbonyl- C_{1-12} alkoxy and halo- C_{1-12} aliphatic;

20 Aryl is selected from the group consisting of: phenyl, naphthyl, phenanthryl and anthracenyl;

Cyc is selected from the group consisting of: cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl and cyclooctyl; and optionally has one or more degrees of unsaturation;

25 Het is a saturated or unsaturated heteroatom ring system selected from the group consisting of: benzimidazole, dihydrothiophene, dioxin, dioxane, dioxolane, dithiane, dithiazine, dithiazole, dithiolane, furan, imidazole, isoquinoline, morpholine, oxazole, oxadiazole, oxathiazole, oxathiazolidine, oxazine, oxadiazine, piperazine, piperidine, pyran, pyrazine, pyrazole,

pyridine, pyrimidine, pyrrole, pyrrolidine, quinoline, tetrahydrofuran, tetrazine, thidiazine, thiadiazole, thiatriazole, thiazine, thiazole, thiomorpholine, thiophene, thiopyran, triazine and triazole; and the salts, esters, amides, carbamates, solvates, polymorphs, hydrates, 5 polymorphs, affinity reagents and/or prodrugs thereof.

2. The compound of claim 1 wherein X is selected from the group consisting of: N, CH and CCH₃.
- 10 3. The compound of claim 1 where X is CH.
4. The compound of claim 1 where X is CCH₃.
5. The compound of claim 1 where X is N.
- 15 6. The compound of claim 1 where Y is C.
7. The compound of claim 1 where Y is N and Z, A and D are each C.
- 20 8. The compound of claim 1 where Z is C.
9. The compound of claim 1 where Z is N and Y, A and D are each C.
10. The compound of claim 1 where A is C.
- 25 11. The compound of claim 1 where A is N and Y, Z and D are each C.
12. The compound of claim 1 where D is C.
- 30 13. The compound of claim 1 where D is N and Y, Z and A are each C.

14. The compound of claim 1 where R¹ is selected from the group consisting of: hydrogen, halogen, amide, nitro, lower alkyl, hydroxy, hydroxyalkyl, pyrimidineloweralkyl, loweralkoxycarbonyl, cyclic loweralkyl,
5 hydroxyphenylloweralkyl, phenoxy, alkoxy and pyrazole.
15. The compound of claim 1 where R¹ is hydrogen or methyl.
16. The compound of claim 1 where R¹ is hydrogen.
10
17. The compound of claim 1 where R¹ is fused with R² to form a fused ring selected from the group consisting of: thiazole, pyrazole, triazole, halogen-substituted diazole, acyl substituted pyrrole and pyridine.
- 15 18. The compound of claim 1 where R¹ is fused with R² for form fused thiazole or fused pyridine.
19. The compound of claim 1 where R² is selected from the group consisting of: hydrogen, halogen, sulfate, amine, quaternary amine, amide, ester, phenyl,
20 alkoxy, aminosulfonyl, lower alkyl sulfonyl, furanyl lower alkyl amide, pyridinyl lower alkyl amide, alkoxy-substituted phenyl lower alkyl amide, morpholino lower alkyl amide, imidazolyl lower alkyl amide, hydroxy lower alkyl amide, alkoxy lower alkyl amide, lower alkyl amide, lower alkyl sulfonamide, lower alkyl hydroxy substituted amino, nitro, halogen-substituted phenoxy carbonyl and
25 triazole and oxazole rings.
20. The compound of claim 1 where R³ is selected from the group consisting of: hydrogen, lower alkyl, hydroxy lower alkyl, halogen, phenoxy and alkoxy.
- 30 21. The compound of claim 1 where R² is selected from the group consisting of: hydrogen, phenyl, 2-furanyl, 3-thiophenyl, bromo and carbethoxy.

22. The compound of claim 1 where R² is fused with R³ to form a fused ring selected from the group consisting of: oxazole, pyrrole, and dioxolane, which fused ring is optionally substituted by lower alkyl or lower alkyl carbonyl, and 5 which fused ring is optionally a hetero ring having nitrogen as the heteroatom and forming a quaternary ammonium salt ionically bonded with a halogen atom.

23. The compound of claim 1 where R³ is hydrogen or chloro.

10 24. The compound of claim 1 where R³ is hydrogen.

25. The compound of claim 1 where R⁴ is selected from the group consisting of: sulfonylamino, sulfonylaminoamino, lower alkyl sulfonylamino, lower alkylsulfonyl lower alkyl, alkoxy sulfonylamino, phenylcarbonylsulfonylamino, 15 phenoxy sulfonyl, hydroxy lower alkylsulfonylamino, hydroxy lower alkylsulfonylamino lower alkyl, alkyl, phenylsulfonylamino (optionally substituted by halogen-substituted lower alkyl), aminoiminosulfonylamino, alkylsulfonylaminoalkyl, pyridinyl lower alkyl sulfonylamino, benzamideazolesulfonylamino, pyridylsulfonylamino, pyrimidinylsulfonylamino, 20 thiadiazolylsulfonylamino (optionally substituted by lower alkyl), thiazolesulfonylamino, hydroxyalkoxyalkylsulfonylamino and 4'-SO₂NH[(CH₂)₂O]₄CH₃.

26. The compound of claim 1 where R⁴ is selected from the group consisting 25 of: 2-pyridine sulfonylamino, 4-pyridine sulfonylamino, hydroxy n-butyl sulfonylamino, methylsulfonylaminomethylene, sulfonyldimethylamino, fused 1,2-pyrazole and sulfonylamino.

27. The compound of claim 1 where R⁴ is sulfonylamino or fused 1,2- 30 pyrazole.

28. The compound of claim 1 where R⁴ is fused with R⁵ to form a fused ring selected from the group consisting of imidazole, triazole, cyclic sulfonylamino and thiaphene, where said fused ring is optionally disubstituted on the sulfur heteroatom by oxo.

5

29. The compound of claim 1 where R⁵ is hydrogen.

30. The compound of claim 1 where R⁶ is selected from the group consisting of: hydrogen, C₁₋₆ aliphatic, hydroxy, C₁₋₆ alkoxy and halogen.

10

31. The compound of claim 1 where R⁶ is selected from the group consisting of: hydroxy, C₁₋₆ alkoxy and halogen.

32. The compound of claim 1 where R⁸ is hydrogen or halo C₁₋₆ aliphatic.

15

33. The compound of claim 1 where R⁸ is trifluoromethyl.

34. A compound of formula (I) as claimed in claim 1

wherein X is selected from the group consisting of: N, CH and C(C₁₋₆ aliphatic);

Y is C or N, with the proviso that when Y is N, R1 is absent, and Z, A and D are each C;

Z is C or N, with the proviso that when Z is N, R2 is absent, and Y, A and D are each C;

25 A is C or N, with the proviso that when A is N, R3 is absent, and Y, Z and D are each C;

D is C or N, with the proviso that when D is N, then Y, Z and A are C; with the further proviso that Y, Z, A and D do not simultaneously all represent C;

R1 is selected from the group consisting of: hydrogen, C1-6 aliphatic, hydroxy-C1-6 aliphatic, Aryl-C1-6 aliphatic, R6-Aryl-C1-6 aliphatic, Cyc-C1-6 aliphatic, Het-C1-6 aliphatic, C1-6 alkoxy, Aryloxy, aminocarbonyl, di-C1-6 aliphatic amino, di-C1-6 aliphatic aminocarbonyl, di-C1-6 aliphatic 5 aminosulfonyl, C1-6 alkoxycarbonyl, halogen and nitro, where R6, Aryl, Cyc and Het are as defined below;

R2 is selected from the group consisting of: hydrogen, C1-6 aliphatic, R7-10 C1-6 aliphatic, C1-6alkoxy, hydroxy-C1-6 aliphatic, C1-6 alkoxycarbonyl, carboxyl C1-6 aliphatic, Aryl, R6-Aryl-oxycarbonyl, R6-oxycarbonyl-Aryl, Het, aminocarbonyl, C1-6 aliphatic-aminocarbonyl, Aryl-C1-6 aliphatic-aminocarbonyl, R6-Aryl-C1-6 aliphatic-aminocarbonyl, Het-C1-6 aliphatic-aminocarbonyl, hydroxy-C1-6 aliphatic-aminocarbonyl, C1-6-alkoxy-C1-6 aliphatic-aminocarbonyl, C1-6 alkoxy-C1-6 aliphatic-amino, di-C1-6 aliphatic amino, di-C1-6 aliphatic aminocarbonyl, di-C1-6 aliphatic aminosulfonyl, 15 halogen, hydroxy, nitro, sulfo, C1-6 aliphatic-sulfonyl, aminosulfonyl, C1-6 aliphatic-aminosulfonyl and quaternary ammonium, where R6, R7, Aryl and Het are as defined below;

R1 and R2 are optionally joined to form a fused ring selected from the group as defined for Het below, and said fused ring is optionally substituted by 20 halogen and/or oxo;

R3 is selected from the group consisting of: hydrogen, C1-6 aliphatic, hydroxy, hydroxy C1-6 aliphatic, di-C1-6 aliphatic amino, di-C1-6 aliphatic aminocarbonyl, di-C1-6 aliphatic aminosulfonyl, C1-6 alkoxy, Aryl, Aryloxy, hydroxy-Aryl, Het, hydroxy-Het, Het-oxy and halogen, where Aryl and Het 25 are as defined below;

R2 and R3 are optionally joined to form a fused ring selected from the group as defined for Het below, and said fused ring is optionally substituted by C1-6 aliphatic or C1-6 aliphatic-carbonyl;

R4 is selected from the group consisting of: sulfonic acid, C1-12 aliphatic-sulfonyl, sulfonyl-C1-12 aliphatic, C1-12 aliphatic-sulfonyl-C1-6 aliphatic, C1-6 aliphatic-amino, R7-sulfonyl, R7-sulfonyl-C1-12 aliphatic, R7-aminosulfonyl, R7-aminosulfonyl-C1-12 aliphatic, R7-sulfonylamino, R7-5 sulfonylamino-C1-12 aliphatic, aminosulfonylamino, di-C1-12 aliphatic amino, di-C1-12 aliphatic aminocarbonyl, di-C1-12 aliphatic aminosulfonyl, di-C1-12 aliphatic amino, di-C1-12 aliphatic aminocarbonyl, di-C1-12 aliphatic aminosulfonyl-C1-12 aliphatic, (R8)1-3-Arylamino, (R8)1-3-Arylsulfonyl, (R8)1-3-Aryl-aminosulfonyl, (R8)1-3-Aryl-sulfonylamino, Het-amino, Het-10 sulfonyl, Het-aminosulfonyl, aminoiminoamino and aminoiminoaminosulfonyl, where R7, R8, Aryl and Het are as defined below; R5 is hydrogen;

R4 and R5 are optionally joined to form a fused ring selected from the group as defined for Het below, and said fused ring is optionally substituted by oxo 15 or dioxo;

R6 is selected from the group consisting of: hydrogen, C1-6 aliphatic, hydroxy, C1-6 alkoxy and halogen;

R7 is selected from the group consisting of: hydrogen, C1-12 aliphatic, C1-12 alkoxy, hydroxy-C1-12 alkoxy, hydroxy-C1-12 aliphatic, carboxylic 20 acid, C1-12 aliphatic-carbonyl, Het, Het-C1-12-aliphatic, Het-C1-12-alkoxy, di-Het-C1-12-alkoxy Aryl, Aryl-C1-12-aliphatic, Aryl-C1-12-alkoxy, Aryl-carbonyl, C1-18 alkoxyalkoxyalkoxyaliphatic and hydroxyl, where Het and Aryl are as defined below;

R8 is hydrogen and/or halo-C1-6 aliphatic;

25 Aryl is phenyl or naphthyl;

Cyc is selected from the group consisting of: cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl and cyclooctyl, and optionally has one or more degrees of unsaturation;

Het is a saturated or unsaturated heteroatom ring system selected from the group consisting of: benzimidazole, dihydrothiophene, dioxin, dioxane, dioxolane, dithiane, dithiazine, dithiazole, dithiolane, furan, imidazole, morpholine, oxazole, oxadiazole, oxathiazole, oxathiazolidine, oxazine, 5 oxadiazine, piperazine, piperidine, pyran, pyrazine, pyrazole, pyridine, pyrimidine, pyrrole, pyrrolidine, tetrahydrofuran, tetrazine, thiadiazine, thiadiazole, thiatriazole, thiazine, thiazole, thiomorpholine, thiophene, thiopyran, triazine and triazole; and
the salts, esters, amides, carbamates, solvates, polymorphs, hydrates, 10 affinity reagents and/or prodrugs thereof.

35. A compound of formula (I) as claimed in claim 1
wherein X is selected from the group consisting of: N, CH and CCH₃;
Y is C or N, with the proviso that when Y is N, R¹ is absent, and Z, A and D
15 are each C;
Z is C or N, with the proviso that when Z is N, R² is absent, and Y, A and D
are each C;
A is C or N, with the proviso that when A is N, R³ is absent, and X, Y and D
are each C;
20 D is C or N, with the proviso that when D is N, then Y, Z and A are each C;
with the further proviso that Y, Z, A and D do not simultaneously all
represent C;
R¹ is selected from the group consisting of: hydrogen, C₁₋₆ aliphatic, hydroxy-
C₁₋₆ aliphatic, di-C₁₋₆ aliphatic amino, di-C₁₋₆ aliphatic aminocarbonyl, di-C₁₋₆
25 aliphatic aminosulfonyl, Aryl-C₁₋₆ aliphatic, R⁶-Aryl-C₁₋₆ aliphatic, Cyc-C₁₋₆
aliphatic, Het-C₁₋₆ aliphatic, C₁₋₆ alkoxy, Aryloxy, aminocarbonyl, C₁₋₆
alkoxycarbonyl, halogen and nitro, where R⁶, Aryl, Cyc and Het are as
defined below;

R² is selected from the group consisting of: hydrogen, C₁₋₆ aliphatic, N-hydroxyimino-C₁₋₆ aliphatic, C₁₋₆alkoxy, C₁₋₆ alkoxy carbonyl, Aryl, R⁶-Aryloxycarbonyl, Het, aminocarbonyl, C₁₋₆ aliphatic aminocarbonyl, Aryl-C₁₋₆ aliphatic aminocarbonyl, R⁶-Aryl-C₁₋₆ aliphatic aminocarbonyl, Het-C₁₋₆

5 aliphatic aminocarbonyl, di-C₁₋₆ aliphatic amino, di-C₁₋₆ aliphatic aminocarbonyl, di-C₁₋₆ aliphatic aminosulfonyl, hydroxy-C₁₋₆ aliphatic aminocarbonyl, C₁₋₆-alkoxy-C₁₋₆ aliphatic aminocarbonyl, C₁₋₆ alkoxy-C₁₋₆ aliphatic amino, halogen, hydroxy, nitro, C₁₋₆ aliphatic sulfonyl, aminosulfonyl and C₁₋₆ aliphatic aminosulfonyl, where R⁶, Aryl and Het are as defined below;

R¹ and R² are optionally joined to form a fused ring selected from the group as defined for Het below, and said fused ring is optionally substituted by halogen and/or oxo;

R³ is selected from the group consisting of: hydrogen, C₁₋₆ aliphatic, hydroxy, hydroxy C₁₋₆ aliphatic, di-C₁₋₆ aliphatic amino, di-C₁₋₆ aliphatic aminocarbonyl, di-C₁₋₆ aliphatic aminosulfonyl C₁₋₆ alkoxy, Aryloxy, Het and halogen, where Aryl and Het are as defined below;

R² and R³ are optionally joined to form a fused ring selected from the group as defined for Het below, and said fused ring is optionally substituted by C₁₋₆ alkyl and/or C₁₋₆ alkylcarbonyl;

R⁴ is selected from the group consisting of: R⁷-sulfonyl, R⁷-sulfonyl C₁₋₆-aliphatic, C₁₋₆ aliphatic sulfonyl-C₁₋₆ aliphatic, R⁷-aminosulfonyl, di-C₁₋₆ aliphatic amino, di-C₁₋₆ aliphatic aminocarbonyl, di-C₁₋₆ aliphatic aminosulfonyl, di-C₁₋₆ aliphatic aminosulfonyl-C₁₋₆ aliphatic, R⁷-aminosulfonyl

25 C₁₋₆ aliphatic, aminosulfonyl amino, R⁷-C₁₋₆ aliphatic aminosulfonyl-C₁₋₆ aliphatic, Aryl, Het, R⁸-Aryl-aminosulfonyl, Het-aminosulfonyl and aminoiminoaminosulfonyl, where R⁷, R⁸, Aryl and Het are as defined below;

R⁵ is hydrogen;

R⁴ and R⁵ are optionally joined to form a fused ring selected from the group as defined for Het below, and said used ring is optionally substituted by oxo or dioxo;

R⁶ is selected from the group consisting of: hydroxy, C₁₋₆ alkoxy and 5 halogen;

R⁷ is selected from the group consisting of: hydrogen, C₁₋₆ aliphatic, hydroxy C₁₋₆-alkoxy, hydroxy-C₁₋₆ aliphatic, C₁₋₆ aliphatic carbonyl, Aryl-carbonyl, C₁₋₁₂ alkoxyalkoxyalkoxyalkoxyalkyl, hydroxyl, Aryl, Aryl-C₁₋₆-alkoxy, Aryl-C₁₋₆-aliphatic, Het, Het-C₁₋₆-alkoxy, di-Het-C₁₋₆-alkoxy, Het-C₁₋₆-aliphatic and di-

10 Het-C₁₋₆-aliphatic;

R⁸ is trifluoromethyl;

Aryl is phenyl;

Cyc is cyclobutyl;

Het is a saturated or unsaturated heteroatom ring system selected from the 15 group consisting of: benzimidazole, dihydrothiophene, dioxolane, furan, imidazole, morpholine, oxazole, pyridine, pyrrole, pyrrolidine, thiadiazole, thiazole, thiophene, and triazole;

and the salts, esters, amides, carbamates, solvates, polymorphs, hydrates, affinity reagents and/or prodrugs thereof.

20

36. A compound as claimed in any one of claims 1 to 35 in the form of a substantially pure E geometric isomer.

37. A compound as claimed in any one of claims 1 to 35 in the form of a 25 substantially pure Z geometric isomer.

38. A compound as claimed in any one of claims 1 to 35 in the form of a mixture of E geometric isomer and Z geometric isomer in any proportions of said geometric isomers.

30

39. A compound as claimed in claim 1, in E, Z or E and Z form, selected from the group consisting of:

- 4-{{(2-Oxo-1,2-dihydro-3H-pyrrolo[3,2-c]pyridin-3-ylidene)methyl}amino}benzenesulfonamide;
- 4-{{(2-Oxo-1,2-dihydro-3H-pyrrolo[2,3-c]pyridin-3-ylidene)methyl}amino}benzenesulfonamide;
- 10 3-[(1H-Indazol-6-ylamino)methylidene]-1H-pyrrolo[3,2-b]pyridin-2-one;
- 3-[(6-Quinolinylamino)methylidene]-1,3-dihydro-2H-pyrrolo[3,2-b]pyridin-2-one;
- 15 4-{{(2-Oxo-1,2-dihydro-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl}amino}benzenesulfonamide;
- 3-[(1H-Indazol-6-ylamino)methylidene]-1H-pyrrolo[2,3-b]pyridin-2-one;
- 20 3-[(6-Quinolinylamino)methylidene]-1,3-dihydro-2H-pyrrolo[2,3-b]pyridin-2-one;
- 4-{{(2-Oxo-5-phenyl-1,2-dihydro-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl}amino} benzenesulfonamide;
- 25 3-[(1H-Indazol-6-ylamino)methylidene]-5-phenyl-1H-pyrrolo[2,3-b]pyridin-2-one;

5-Phenyl-3-[(6-quinolinylamino)methylidene]-1,3-dihydro-2H-pyrrolo[2,3-b]pyridin-2-one;

4-({[5-(2-Furyl)-2-oxo-1,2-dihydro-3H-pyrrolo[2,3-b]pyridin-3-ylidene]methyl}amino)benzenesulfonamide;

5-(2-Furyl)-3-[(1H-indazol-6-ylamino)methylidene]-1H-pyrrolo[2,3-b]pyridin-2-one;

10 5-(2-Furyl)-3-[(6-quinolinylamino)methylidene]-1,3-dihydro-2H-pyrrolo[2,3-b]pyridin-2-one;

4-({[2-Oxo-5-(3-thienyl)-1,2-dihydro-3H-pyrrolo[2,3-b]pyridin-3-ylidene]methyl}amino)benzenesulfonamide;

15

3-[(1H-Indazol-6-ylamino)methylidene]-5-(3-thienyl)-1,3-dihydro-2H-pyrrolo[2,3-b]pyridin-2-one;

20 3-[(6-Quinolinylamino)methylidene]-5-(3-thienyl)-1,3-dihydro-2H-pyrrolo[2,3-b]pyridin-2-one;

4-({[5-Bromo-2-oxo-1,2-dihydro-3H-pyrrolo[2,3-b]pyridin-3-ylidene]methyl}amino)benzenesulfonamide;

25 5-Bromo-3-[(1H-indazol-6-ylamino)methylidene]-1,3-dihydro-2H-pyrrolo[2,3-b]pyridin-2-one;

5-Bromo-3-[(6-quinolinylamino)methylidene]-1,3-dihydro-2H-pyrrolo[2,3-b]pyridin-2-one;

100

4-{{(6-Chloro-2-oxo-1,2-dihydro-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl}amino}benzenesulfonamide;

5 6-Chloro-3-[(1H-indazol-6-ylamino)methylidene]-1,3-dihydro-2H-pyrrolo[2,3-b]pyridin-2-one;

6-Chloro-3-[(6-quinolinylamino)methylidene]-1,3-dihydro-2H-pyrrolo[2,3-b]pyridin-2-one;

10

Ethyl 3-{{4-(aminosulfonyl)anilino)methylidene}-2-oxo-1,2-dihydro-3H-pyrrolo[2,3-b]pyridine-5-carboxylate;

15 Ethyl 3-[(1H-indazol-6-ylamino)methylidene]-2-oxo-1,2-dihydro-3H-pyrrolo[2,3-b]pyridine-5-carboxylate; and

Ethyl 2-oxo-3-[(6-quinolinylamino)methylidene]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridine-5-carboxylate;

20 and the pharmaceutically acceptable salts, esters, amides, carbamates, solvates, affinity reagents and/or prodrugs thereof.

40. A pharmaceutical composition comprising a compound as claimed in any one of claims 1 to 39 and one or more pharmaceutically acceptable carriers, 25 diluents and/or excipients.

41. A method of inhibiting a kinase comprising the step of binding said kinase with the compound as claimed in any one of claims 1 to 39.

42. The method of claim 41 wherein the kinase is a mitogen activated protein kinase.

43. The method of claim 41 wherein the kinase is selected from the group consisting of: abl, ARaf, ATK, ATM, bcr-abl, Blk, BRaf, Brk, Btk, CDK1, CDK2, CDK3, CDK4, CDK5, CDK6, CDK7, CDK8, CDK9, c-fms, c-fms, c-kit, c-met, cRaf1, CSF1R, CSK, c-src, EGFR, ErbB2, ErbB3, ErbB4, ERK, ERK1, ERK2, Fak, fes, FGFR1, FGFR2, FGFR3, FGFR4, FGFR5, Fgr, FLK-4, Fps, Frk, Fyn, GSK, gsk3a, gsk3b, Hck, IGF-1R, IKK, IKK1, IKK2, IKK3, INS-R, Integrin-linked kinase, Jak, JAK1, JAK2, JAK3, JNK, JNK, Lck, Lyn, MEK, MEK1, MEK2, p38, PDGFR, PIK, PKB1, PKB2, PKB3, PKC, PKC α , PKC β , PKC δ , PKC ϵ , PKC γ , PKC λ , PKC μ , PKC ζ , PLK1, Polo-like kinase, PYK2, tie₁, tie₂, TrkA, TrkB, TrkC, UL13, UL97, VEGF-R1, VEGF-R2, Yes and Zap70

15 44. The method of claim 41 wherein the kinase is selected from the group consisting of: VEGF-R2, CDK1, CDK2, CDK3, Zap70, Lck and C-Fms.

45. The method of claim 41 wherein the kinase is VEGF-R2.

20 46. A compound as claimed in any one of claims 1 to 39 for use in therapy.

47. A method of treating a disease or disorder in an animal which comprises administering to said animal a therapeutically effective amount of a compound as claimed in any one of claims 1 to 39.

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48. The method according to claim 47 wherein the compound or physiologically acceptable salt thereof is administered in an amount of from about 0.1 to about 100 mg/kg of body weight per day.

49. The method according to claim 47 wherein the compound or physiologically acceptable salt thereof is administered in an amount of from about 0.1 to about 10 mg/kg of body weight per day.

5 50. The method according to claim 47 wherein the animal is a human.

51. The method according to claim 47 wherein the disease or disorder comprises at least one condition selected from the group consisting of: organ transplant rejection, tumor growth, chemotherapy-induced alopecia, 10 chemotherapy-induced thrombocytopenia, chemotherapy-induced leukopenia, mucocitis, plantar-palmar syndrome, restenosis, atherosclerosis, rheumatoid arthritis, angiogenesis, hepatic cirrhosis, glomerulonephritis, diabetic nephropathy, malignant nephrosclerosis, thrombotic microangiopathy, glomerulopathy, psoriasis, diabetes mellitus, inflammation, neurodegenerative 15 disease, macular degeneration, actinic keratosis and hyperproliferative disorders.

52. The method according to claim 47 wherein the disease or disorder comprises a viral or eukaryotic infection.

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53. The method according to claim 47 wherein the disease or disorder comprises alopecia.

54. The method of claim 47 wherein the disease or disorder comprises at 25 least one condition mediated by a kinase.

55. The method according to claim 56 wherein the kinase is as defined in any one of claims 42 to 45.

30 56. A method according to claim 47 wherein the compound is coadministered with one or more anti-cancer agents and/or treatments.

57. The use of a compound as claimed in any one of claims 1 to 41 in the preparation of a medicament for the treatment of a disease or disorder as defined in any one of claims 51 to 55.

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58. A process for preparing a compound of formula (I) as claimed in claim 1 which process comprises one or more synthetic steps of any one of Schemes 1 to 6 as herein described.